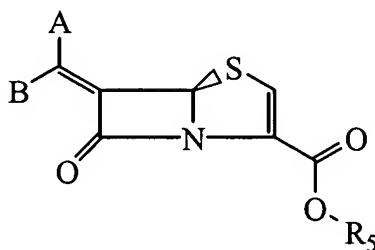


**Amendments to the Claims:**

This listing of claims will replace all prior versions, and listings, of claims in the Application:

1. (Currently amended) A process for the preparation of compounds of the formula I



I

wherein:

one of A and B denotes hydrogen and the other is an aryl optionally substituted with one or two R<sub>2</sub>, heteroaryl optionally substituted with one or two R<sub>2</sub>, fused bicyclic heteroaryl optionally substituted with one or two R<sub>2</sub>, fused tricyclic heteroaryl optionally substituted with one or two R<sub>2</sub>, cycloalkyl optionally substituted with one or two R<sub>2</sub>, alkyl optionally substituted with one or two R<sub>2</sub>, alkenyl optionally substituted with one or two R<sub>2</sub>, alkynyl optionally substituted with one or two R<sub>2</sub>, saturated or partially saturated heteroaryl optionally substituted with one or two R<sub>2</sub>;

R<sub>5</sub> is H, C1 -C6 alkyl, C5 - C6 cycloalkyl, or CHR<sub>3</sub>OCOC1-C6alkyl;

R<sub>1</sub> is H, optionally substituted -C1-C6 alkyl, optionally substituted -aryl, optionally substituted -heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted -C3-C7 cycloalkyl, optionally substituted -C3-C6 alkenyl, optionally substituted -C3-C6 alkynyl with the proviso that both the double bond and the triple bond should not be present at the carbon atom which is directly linked to N; optionally substituted -C1-C6 per fluoro alkyl, -S(O)<sub>p</sub> optionally substituted alkyl or aryl where p is 2, optionally substituted -C=O heteroaryl, optionally substituted -C=O aryl, optionally substituted -C=O (C1-C6) alkyl, optionally substituted -C=O (C3-C6) cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally

substituted C1-C6 alkyl aryl, optionally substituted C1-C6 alkyl heteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $-\text{CONR}_6\text{R}_7$ ,  $-\text{SO}_2\text{NR}_6\text{R}_7$ , optionally substituted arylalkoxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkyl aryloxyheteroaryl, optionally substituted alkyl aryloxy alkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, optionally substituted heteroaryloxy carbonyl.

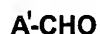
$\text{R}_2$  is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl having 1 to 2 double bonds, optionally substituted C2-C6 alkynyl having 1 to 2 triple bonds, halogen, cyano,  $\text{N-R}_6\text{R}_7$ , optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl,  $\text{COOR}_6$ , optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylene dioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl,  $\text{S}(\text{O})_q$ - optionally substituted C1-C6 alkyl,  $\text{S}(\text{O})_q$ - optionally substituted aryl where q is 0, 1 or 2,  $\text{CONR}_6\text{R}_7$ , guanidino or cyclic guanidino, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $\text{SO}_2\text{NR}_6\text{R}_7$ , optionally substituted arylalkoxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

R<sub>3</sub> is hydrogen, C1-C6 alkyl, C5 – C6 cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl;

R<sub>6</sub> and R<sub>7</sub> are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R<sub>6</sub> and R<sub>7</sub> can be together to together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R<sub>6</sub> and R<sub>7</sub> are attached optionally having one or two heteroatoms such as selected from N-R<sub>1</sub>, O, S=(O)<sub>n</sub> n = 0-2;

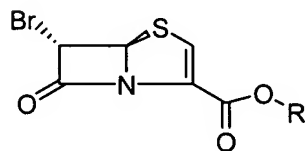
said process comprising

(a) condensing an ~~appropriately substituted~~ aldehyde 17



17

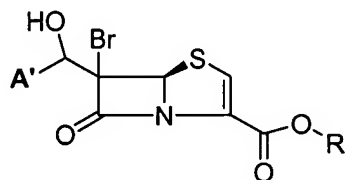
wherein A' is defined as A or B whichever one of A or B is not hydrogen,  
with 6-bromo-penem derivative of structure 16



16

wherein R is p-nitrobenzyl

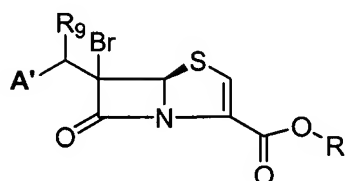
in the presence of a Lewis acid and ~~a mild base~~ an organic tertiary base, at ~~low temperature~~ a temperature of -10°C to -40°C to form an intermediate aldol product 18



**18**

wherein A' and R are as defined above;

(b) reacting intermediate **18** with an acid chloride or anhydride, (R<sub>8</sub>)Cl or (R<sub>8</sub>)<sub>2</sub>O, or with tetrahalomethane, C(X<sub>1</sub>)<sub>4</sub>, and triphenyl phosphine, to form intermediate compound **19**



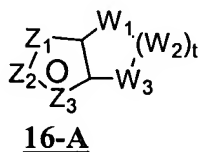
**19**

wherein R<sub>8</sub> is alkylSO<sub>2</sub>, arylSO<sub>2</sub>, alkylCO, or arylCO; X<sub>1</sub> is Br, I, or Cl; A' and R are as defined above; and R<sub>9</sub> is X<sub>1</sub> or OR<sub>8</sub>; and

(c) converting the intermediate compound **19** to the desired formula **I** compound by a reductive elimination process.

2. (Original) The process according to claim 1 wherein the Lewis acid is anhydrous magnesium halide.
3. (Original) The process according to claim 2 wherein the Lewis acid is anhydrous MgBr<sub>2</sub>.
4. (Currently amended) The process according to claim 1 wherein the ~~mild-base~~ organic tertiary base is triethylamine, DMAP or diisopropyl ethyl amine.
5. (Currently amended) The process according to claim 1 wherein the ~~low~~ temperature is about -20°C to -40°C.
6. (Currently amended) The process according to claim 1 wherein intermediate compound **19** is an acetate, ~~triflate~~ or a tosylate.
7. (Canceled)

8. (Currently amended) The process according to claim 7 1 wherein ~~the mild temperature is~~ step (c) is carried out at about 20°C to 35°C.
9. (Original) The process according to claim 1 wherein the reductive elimination process is carried out using activated zinc and a phosphate buffer at a pH of about 6.5 to 8.0 or hydrogenating over a catalyst.
10. (Original) The process according to claim 9 wherein the hydrogenating over a catalyst is carried out using palladium on charcoal.
11. (Original) The process according to claim 1 wherein A or B is a fused tricyclic heteroaryl group or a fused bicyclic heteroaryl group.
12. (Original) The process according to claim 11 wherein the A or B is a fused bicyclic heteroaryl group.
13. (Currently amended) The process according to claim 12 wherein the fused bicyclic heteroaryl group has the structural formula



wherein Z1, Z2, and Z3 are independently CR<sub>2</sub>, N, O, S or N-R<sub>1</sub> provided one of Z1, Z2, or Z3 is carbon and is bonded to the remainder of the molecule as shown in formula I;

W<sub>1</sub>, W<sub>2</sub> and W<sub>3</sub> are independently CR<sub>4</sub>R<sub>4</sub>, S, SO, SO<sub>2</sub>, O, N-R<sub>1</sub>, C=O; with the proviso that no S-S or O-O or S-O bond formation can occur to form the saturated ring system;

t= 1 to 4;

R<sub>1</sub> is H, optionally substituted -C1-C6 alkyl, optionally substituted -aryl, optionally substituted -heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted -C3-C7 cycloalkyl, optionally substituted -C3-C6 alkenyl, optionally substituted -C3-C6 alkynyl with the proviso that both the double bond and the triple bond should not be present at the carbon atom which is directly linked to N; optionally substituted -C1-C6 per fluoro alkyl, -S(O)<sub>p</sub> optionally substituted alkyl or aryl where p is 2, optionally substituted -

C=Oheteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=O (C1-C6) alkyl, optionally substituted -C=O (C3-C6) cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkyl aryl, optionally substituted C1-C6 alkyl heteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR<sub>6</sub>R<sub>7</sub>, -SO<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkyl aryloxyheteroaryl, optionally substituted alkyl aryloxy alkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, optionally substituted heteroaryloxy carbonyl;

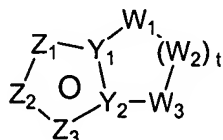
R<sub>2</sub> is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl having 1 to 2 double bonds, optionally substituted C2-C6 alkynyl having 1 to 2 triple bonds, halogen, cyano, N-R<sub>6</sub>R<sub>7</sub>, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR<sub>6</sub>, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylene dioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)<sub>q</sub>-optionally substituted C1-C6 alkyl, S(O)<sub>q</sub>-optionally substituted aryl where q is 0, 1 or 2, CONR<sub>6</sub>R<sub>7</sub>, guanidino or cyclic guanidino, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6

alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

R<sub>4</sub> is H, optionally substituted C1-C6 alkyl, one of R<sub>4</sub> is OH, C1-C6 alkoxy, -S-C1-C6 alkyl, COOR<sub>6</sub>, -NR<sub>6</sub>R<sub>7</sub>, -CONR<sub>6</sub>R<sub>7</sub>; or R<sub>4</sub>R<sub>4</sub> may together be =O or R<sub>4</sub>R<sub>4</sub> together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, S=(O)<sub>n</sub> (where n =0 to 2), N-R<sub>1</sub>; and

R<sub>6</sub> and R<sub>7</sub> are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R<sub>6</sub> and R<sub>7</sub> can be together to together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R<sub>6</sub> and R<sub>7</sub> are attached optionally having one or two heteroatoms ~~such as~~ selected from N-R<sub>1</sub>, O, S=(O)<sub>n</sub> n = 0-2.

14. (Currently amended) The process according to claim 12 wherein the fused bicyclic heteroaryl group has the structural formula



wherein

Z<sub>1</sub>, Z<sub>2</sub> and Z<sub>3</sub> are independently CR<sub>2</sub>, N, O, S or N-R<sub>1</sub> provided one of Z<sub>1</sub> -Z<sub>3</sub> is carbon and is bonded to the remainder of the molecule;

W<sub>1</sub>, W<sub>2</sub> and W<sub>3</sub> are independently CR<sub>4</sub>R<sub>4</sub>, S, SO, SO<sub>2</sub>, O, or N-R<sub>1</sub>;

t= 1 to 4;

Y<sub>1</sub> and Y<sub>2</sub> are independently N or C; with the proviso that at least one of Y<sub>1</sub> and Y<sub>2</sub> is C; with the proviso that if the aromatic ring portion of the bicyclic heteroaryl group is imidazole, the nonaromatic ring portion may not contain a S adjacent to the bridgehead carbon;

R<sub>1</sub> is H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted C5-C7 cycloalkyl, optionally substituted C3-C6 alkenyl, optionally substituted C3-C6 alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted C1-C6 perfluoroalkyl, -S(O)<sub>p</sub> optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=O aryl, optionally substituted -C=O (C1-C6) alkyl, optionally substituted -C=O (C5-C6) cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkyl heteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR<sub>6</sub>R<sub>7</sub>, -SO<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6 alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

R<sub>2</sub> is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R<sub>6</sub>R<sub>7</sub>, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR<sub>6</sub>, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylene dioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)<sub>q</sub>-optionally substituted C1-C6 alkyl, S(O)<sub>q</sub>-optionally substituted aryl where q is 0, 1 or 2, CONR<sub>6</sub>R<sub>7</sub>, guanidino or cyclic guanidino, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16

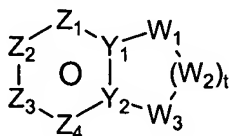


carbon atoms,  $\text{SO}_2\text{NR}_6\text{R}_7$ , optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

$\text{R}_4$  is H, optionally substituted C1-C6 alkyl, one of  $\text{R}_4$  is OH, C1-C6 alkoxy, -S-C1-C6 alkyl,  $\text{COOR}_6$ ,  $-\text{NR}_6\text{R}_7$ ,  $-\text{CONR}_6\text{R}_7$ ; or  $\text{R}_4\text{R}_4$  may together be =O or  $\text{R}_4\text{R}_4$  together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O,  $\text{S}=\text{O}_n$  (where  $n=0$  to 2), and N- $\text{R}_1$ ; and

$\text{R}_6$  and  $\text{R}_7$  are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkylheteroaryl, or  $\text{R}_6$  and  $\text{R}_7$  ~~can be together to~~ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which  $\text{R}_6$  and  $\text{R}_7$  are attached optionally having one or two heteroatoms selected from N, O, or S.

15. (Currently amended) The process according to claim 12 wherein the fused bicyclic heteroaryl group is



wherein

$\text{Z}_1$ ,  $\text{Z}_2$ ,  $\text{Z}_3$  and  $\text{Z}_4$  are independently  $\text{CR}_2$  or N provided one of  $\text{Z}_1 - \text{Z}_4$  is carbon and is bonded to the remainder of the molecule;

$W_1$ ,  $W_2$  and  $W_3$  are independently  $CR_4R_4$ , S, SO,  $SO_2$ , O, or  $N-R_1$ ; with the proviso that no S-S or O-O or S-O bond formation can occur to form the saturated ring system;

$t = 1$  to 4;

$Y_1$  and  $Y_2$  are independently C or N; with the proviso that at least one of  $Y_1$  and  $Y_2$  is C;

$R_1$  is H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted C5-C7 cycloalkyl, optionally substituted C3-C6 alkenyl, optionally substituted C3-C6 alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted C1-C6 perfluoroalkyl,  $-S(O)_p$  optionally substituted alkyl or aryl where p is 0-2, optionally substituted  $-C=O$  heteroaryl, optionally substituted  $-C=O$  aryl, optionally substituted  $-C=O$  (C1-C6) alkyl, optionally substituted  $-C=O$  (C5-C6) cycloalkyl, optionally substituted  $-C=O$  mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkyl heteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $-CONR_6R_7$ ,  $-SO_2NR_6R_7$ , optionally substituted arylalkyloxyalkyl, optionally substituted  $-alkyl-O-alkyl-aryl$ , optionally substituted  $-alkyl-O-alkyl-heteroaryl$ , optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6 alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

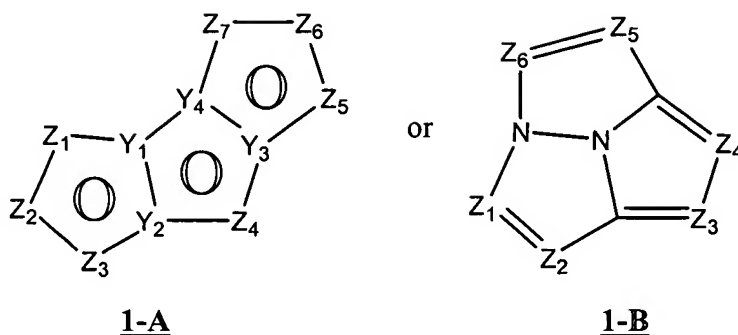
$R_2$  is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano,  $N-R_6R_7$ , optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl,  $COOR_6$ , optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3 – C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylene dioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl,  $S(O)_q$ -optionally substituted C1-C6 alkyl,  $S(O)_q$ -

optionally substituted aryl where q is 0, 1 or 2,  $\text{CONR}_6\text{R}_7$ , guanidino or cyclic guanidino, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $\text{SO}_2\text{NR}_6\text{R}_7$ , optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

$\text{R}_4$  is H, optionally substituted C1-C6 alkyl, one of  $\text{R}_4$  is OH, C1-C6 alkoxy, -S-C1-C6 alkyl,  $\text{COOR}_6$ ,  $-\text{NR}_6\text{R}_7$ ,  $-\text{CONR}_6\text{R}_7$ ; or  $\text{R}_4\text{R}_4$  may together be =O or  $\text{R}_4\text{R}_4$  together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O,  $\text{S}=(\text{O})_n$  (where  $n=0$  to 2), and N- $\text{R}_1$ ; and

$\text{R}_6$  and  $\text{R}_7$  are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkylheteroaryl, or  $\text{R}_6$  and  $\text{R}_7$  ~~can be together to~~ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which  $\text{R}_6$  and  $\text{R}_7$  are attached optionally having one or two heteroatoms selected from N, O, or S.

16. (Currently amended) The process according to claim 12 wherein the fused tricyclic heteroaryl group has the formula



wherein  $Z_1$ ,  $Z_2$ ,  $Z_3$ ,  $Z_4$ ,  $Z_5$ ,  $Z_6$  and  $Z_7$  are independently  $CR_2$ , N, O, S or  $N-R_1$  provided one of  $Z_1 - Z_7$  is a carbon atom to which the remainder of the molecule is attached;

$R_1$  is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl,  $-S(O)_p$  optionally substituted alkyl or aryl where  $p$  is 0-2, optionally substituted  $-C=O$  heteroaryl, optionally substituted  $-C=O$  aryl, optionally substituted  $-C=O$  alkyl, optionally substituted  $-C=O$  cycloalkyl, optionally substituted  $-C=O$  mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $-CONR_6R_7$ ,  $-SO_2NR_6R_7$ , optionally substituted arylalkyloxyalkyl, optionally substituted  $-alkyl-O-alkyl-aryl$ , optionally substituted  $-alkyl-O-alkyl-heteroaryl$ , optionally substituted arylalkyloxyalkyl, optionally substituted heteroarylalkyloxyalkyl, optionally substituted arylalkyloxyaryl, optionally substituted arylalkyloxyheteroaryl, optionally substituted C1-C6 alkylalkyloxyaryl, optionally substituted C1-C6 alkylalkyloxyheteroaryl, optionally substituted alkylalkyloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted arylalkyloxy carbonyl, or optionally substituted heteroarylalkyloxy carbonyl;

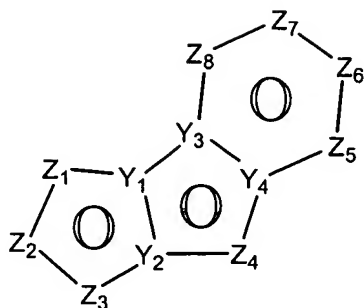
$R_2$  is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano,  $N-R_6R_7$ , optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl,

COOR<sub>6</sub>, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)<sub>q</sub>- optionally substituted C1-C6 alkyl, S(O)<sub>q</sub>- optionally substituted aryl where q is 0, 1 or 2, CONR<sub>6</sub>R<sub>7</sub>, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

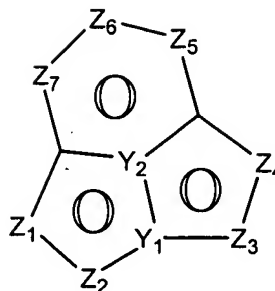
R<sub>6</sub> and R<sub>7</sub> are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R<sub>6</sub> and R<sub>7</sub> ~~can be together to~~ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R<sub>6</sub> and R<sub>7</sub> are attached optionally having one or two heteroatoms selected from N-R<sub>1</sub>, O, and S(O)<sub>n</sub> n = 0-2; and

Y<sub>1</sub>, Y<sub>2</sub>, Y<sub>3</sub> and Y<sub>4</sub> may independently be C or N; with the proviso that in formula 1-A, at least one of Y<sub>1</sub> and Y<sub>2</sub> is C.

17. (Currently amended) The process according to claim 12 wherein the tricyclic heteroaryl group is



or



**2-A**

**2-B**

wherein  $Z_1$ ,  $Z_2$ ,  $Z_3$ , and  $Z_4$ ,  $Z_5$ ,  $Z_6$ ,  $Z_7$  and  $Z_8$  are independently  $CR_2$ , N, O, S or  $N-R_1$ ;  $Z_5$ ,  $Z_6$ ,  $Z_7$  and  $Z_8$  are independently  $CR_2$  or N; provided one of the  $Z_1 - Z_8$  is a carbon atom to which the remainder of the molecule is attached;

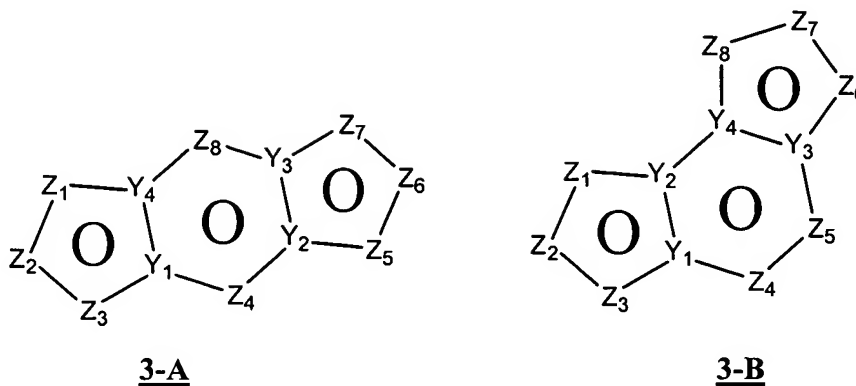
$R_1$  is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl,  $-S(O)_p$  optionally substituted alkyl or aryl where  $p$  is 0-2, optionally substituted  $-C=O$ heteroaryl, optionally substituted  $-C=O$ aryl, optionally substituted  $-C=O$ alkyl, optionally substituted  $-C=O$ cycloalkyl, optionally substituted  $-C=O$  mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $-CONR_6R_7$ ,  $-SO_2NR_6R_7$ , optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl,

optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl; R<sub>2</sub> is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R<sub>6</sub>R<sub>7</sub>, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR<sub>6</sub>, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)<sub>q</sub>- optionally substituted C1-C6 alkyl, S(O)<sub>q</sub>- optionally substituted aryl where q is 0, 1 or 2, CONR<sub>6</sub>R<sub>7</sub>, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R<sub>6</sub> and R<sub>7</sub> are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R<sub>6</sub> and R<sub>7</sub> ~~can be together to~~ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R<sub>6</sub> and R<sub>7</sub> are attached optionally having one or two heteroatoms selected from N-R<sub>1</sub>, O, and S(O)<sub>n</sub> n = 0-2; and

Y<sub>1</sub>, and Y<sub>2</sub>, Y<sub>3</sub> and Y<sub>4</sub> are independently C or N; Y<sub>3</sub> and Y<sub>4</sub> are C; provided that in formula 2-A, at least one of Y<sub>1</sub> and Y<sub>2</sub> is C; and provided that in formula 2-B, Y<sub>2</sub> is C.

18. (Currently amended) The process according to claim 12 wherein the tricyclic heteroaryl group is



wherein in formula 3-A,  $Z_1$ ,  $Z_2$ ,  $Z_3$ ,  $Z_4$ ,  $Z_5$ ,  $Z_6$ , and  $Z_7$  and  $Z_8$  are independently  $CR_2$ , N, O, S or N- $R_1$ ; and in formula 3-A,  $Z_4$  and  $Z_8$  are independently  $CR_2$  or N; in formula 3-B,  $Z_1$ ,  $Z_2$ ,  $Z_3$ ,  $Z_6$ ,  $Z_7$ , and  $Z_8$  are independently  $CR_2$ , N, O, S or N- $R_1$ ; and in formula 3-B,  $Z_4$  and  $Z_5$  are independently  $CR_2$  or N; provided one of  $Z_1 - Z_8$  is a carbon atom to which the remainder of the molecule is attached;

$R_1$  is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl,  $-S(O)_p$  optionally substituted alkyl or aryl where p is 0-2, optionally substituted  $-C=O$ heteroaryl, optionally substituted  $-C=O$ aryl, optionally substituted  $-C=O$ alkyl, optionally substituted  $-C=O$ cycloalkyl, optionally substituted  $-C=O$  mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $-CONR_6R_7$ ,  $-SO_2NR_6R_7$ , optionally substituted arylalkoxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl,

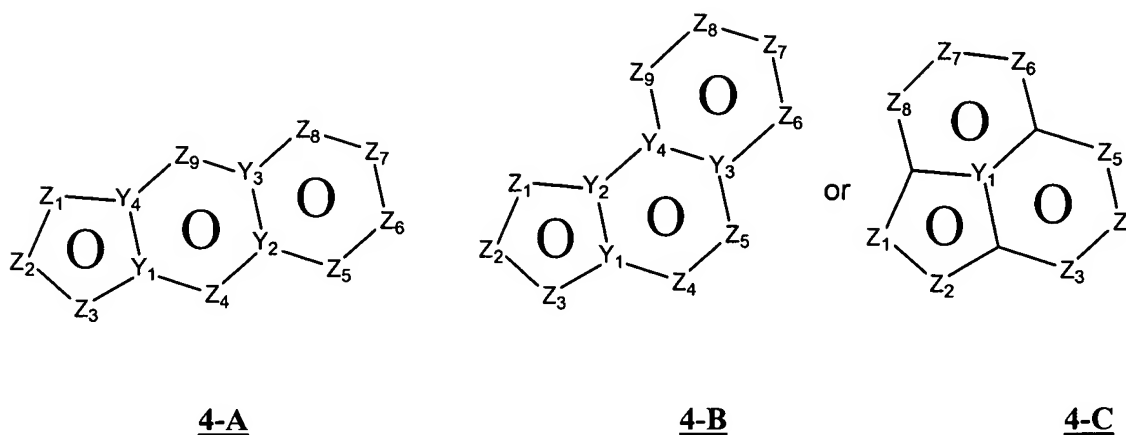


optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;  
R<sub>2</sub> is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R<sub>6</sub>R<sub>7</sub>, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR<sub>6</sub>, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)<sub>q</sub>- optionally substituted C1-C6 alkyl, S(O)<sub>q</sub>- optionally substituted aryl where q is 0, 1 or 2, CONR<sub>6</sub>R<sub>7</sub>, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R<sub>6</sub> and R<sub>7</sub> are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R<sub>6</sub> and R<sub>7</sub> ~~can be together to~~ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R<sub>6</sub> and R<sub>7</sub> are attached optionally having one or two heteroatoms selected from N-R<sub>1</sub>, O, and S(O)<sub>n</sub> n = 0-2; and

Y<sub>1</sub>, Y<sub>2</sub>, Y<sub>3</sub> and Y<sub>4</sub> ~~may be~~ are C or N.

19. (Currently amended) The process according to claim 12 wherein the tricyclic heteroaryl group is



wherein  $Z_1$ ,  $Z_2$ , and  $Z_3$ ,  $Z_4$ ,  $Z_5$ ,  $Z_6$ ,  $Z_7$ ,  $Z_8$  and  $Z_9$  are independently  $CR_2$ , N, O, S or  $N-R_1$ ; and  $Z_4$ ,  $Z_5$ ,  $Z_6$ ,  $Z_7$ ,  $Z_8$  and  $Z_9$  are independently  $CR_2$  or N; provided one of the  $Z_1 - Z_9$  is a carbon atom to which the remainder of the molecule is attached; provided that in formula 4-C,  $Z_3$  cannot be O, S or  $N-R_1$ ;

$R_1$  is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl,  $-S(O)_p$  optionally substituted alkyl or aryl where p is 0-2, optionally substituted  $-C=O$ heteroaryl, optionally substituted  $-C=O$ aryl, optionally substituted  $-C=O$ alkyl, optionally substituted  $-C=O$ cycloalkyl, optionally substituted  $-C=O$  mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $-CONR_6R_7$ ,  $-SO_2NR_6R_7$ , optionally substituted arylalkoxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-

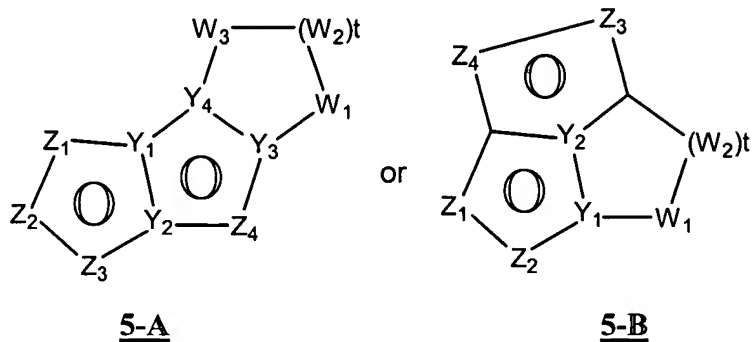
heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

R<sub>2</sub> is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R<sub>6</sub>R<sub>7</sub>, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR<sub>6</sub>, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylendioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)<sub>q</sub>- optionally substituted C1-C6 alkyl, S(O)<sub>q</sub>- optionally substituted aryl where q is 0, 1 or 2, CONR<sub>6</sub>R<sub>7</sub>, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R<sub>6</sub> and R<sub>7</sub> are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R<sub>6</sub> and R<sub>7</sub> ~~can be together to~~ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R<sub>6</sub> and R<sub>7</sub> are attached optionally having one or two heteroatoms selected from N-R<sub>1</sub>, O, and S(O)<sub>n</sub> n = 0-2; and

$Y_1$ ,  $Y_2$ ,  $Y_3$  and  $Y_4$  are ~~independently~~ C or N.

20. (Currently amended) The process according to claim 12 wherein the tricyclic heteroaryl group is



wherein  $Z_1$ ,  $Z_2$ ,  $Z_3$  and  $Z_4$  are independently  $CR_2$ , N, O, S or  $N-R_1$  provided one of  $Z_1 - Z_4$  is a carbon atom to which the remainder of the molecule is attached;

$Y_1$ ,  $Y_2$ ,  $Y_3$  and  $Y_4$  are independently C or N; provided that in formula 5-A, at least one of  $Y_1$  and  $Y_2$  is C; and provided that in formula 5-B,  $Y_1$  and  $Y_2$  are C;

$W_1$ ,  $W_2$  and  $W_3$  are independently  $CR_4R_4$ ,  $S(O)_r$  ( $r = 0 - 2$ ), O, or  $N-R_1$  with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring;

$R_1$  is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl,  $-S(O)_p$  optionally substituted alkyl or aryl where p is 0-2, optionally substituted  $-C=O$ heteroaryl, optionally substituted  $-C=O$ aryl, optionally substituted  $-C=O$ alkyl, optionally substituted  $-C=O$ cycloalkyl, optionally substituted  $-C=O$  mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16

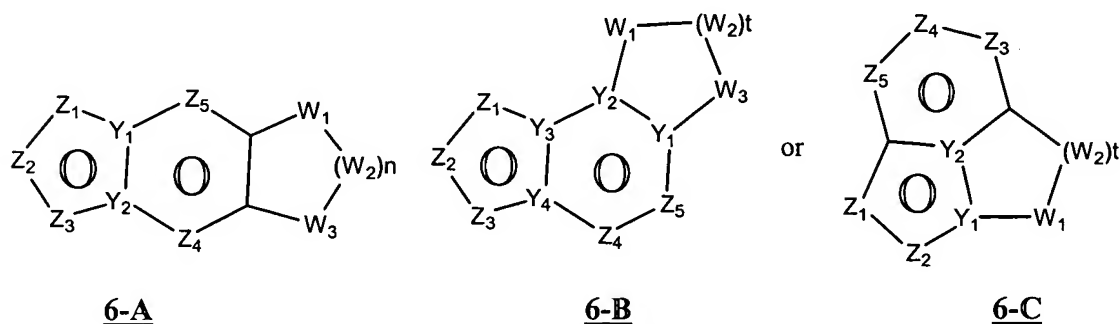
carbon atoms,  $-\text{CONR}_6\text{R}_7$ ,  $-\text{SO}_2\text{NR}_6\text{R}_7$ , optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

$\text{R}_2$  is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano,  $\text{N-R}_6\text{R}_7$ , optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl,  $\text{COOR}_6$ , optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl,  $\text{S(O)}_q$ - optionally substituted C1-C6 alkyl,  $\text{S(O)}_q$ - optionally substituted aryl where q is 0, 1 or 2,  $\text{CONR}_6\text{R}_7$ , guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $\text{SO}_2\text{NR}_6\text{R}_7$ , optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

$\text{R}_4$  is H, optionally substituted C1-C6 alkyl, OH (provided both  $\text{R}_4$  are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl,  $\text{COOR}_6$ ,  $-\text{NR}_6\text{R}_7$ ,  $-\text{CONR}_6\text{R}_7$ ; or  $\text{R}_4\text{R}_4$  may together be  $=\text{O}$  or  $\text{R}_4\text{R}_4$  together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O,  $\text{S(O)}_n$  (where  $n=0$  to 2),  $\text{N-R}_1$ ;

R<sub>6</sub> and R<sub>7</sub> are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R<sub>6</sub> and R<sub>7</sub> ~~can be together to~~ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R<sub>6</sub> and R<sub>7</sub> are attached optionally having one or two heteroatoms selected from N-R<sub>1</sub>, O, and S(O)<sub>n</sub> n = 0-2; and  
 t = 1 to 3.

21. (Currently amended) The process according to claim 12 wherein the tricyclic heteroaryl group is



wherein Z<sub>1</sub>, Z<sub>2</sub>, and Z<sub>3</sub>, ~~Z<sub>4</sub> and Z<sub>5</sub>~~ are independently CR<sub>2</sub>, N, O, S or N-R<sub>1</sub>; Z<sub>4</sub> and Z<sub>5</sub> are CR<sub>2</sub> or N; provided one of Z<sub>1</sub> - Z<sub>5</sub> is a carbon atom to which the remainder of the molecule is attached; provided that in formula 6-C, Z<sub>3</sub> cannot be O, S or N-R<sub>1</sub>;

~~Y<sub>1</sub> and Y<sub>2</sub> are~~ is independently C or N; provided that in formula 6-A and 6-B, Y<sub>1</sub> is C; Y<sub>2</sub>, Y<sub>3</sub> and Y<sub>4</sub> are C;

W<sub>1</sub>, W<sub>2</sub> and W<sub>3</sub> are independently CR<sub>4</sub>R<sub>4</sub>, S(O)<sub>r</sub> (r = 0 -2), O, or N-R<sub>1</sub> with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R<sub>1</sub> is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to

N; optionally substituted perfluoroalkyl,  $-S(O)_p$  optionally substituted alkyl or aryl where p is 0-2, optionally substituted  $-C=O$ heteroaryl, optionally substituted  $-C=O$ aryl, optionally substituted  $-C=O$ alkyl, optionally substituted  $-C=O$ cycloalkyl, optionally substituted  $-C=O$  mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $-CONR_6R_7$ ,  $-SO_2NR_6R_7$ , optionally substituted arylalkyloxyalkyl, optionally substituted  $-alkyl-O-alkyl-aryl$ , optionally substituted  $-alkyl-O-alkyl-heteroaryl$ , optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

$R_2$  is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano,  $N-R_6R_7$ , optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl,  $COOR_6$ , optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl,  $S(O)_q$ - optionally substituted C1-C6 alkyl,  $S(O)_q$ - optionally substituted aryl where q is 0, 1 or 2,  $CONR_6R_7$ , guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $SO_2NR_6R_7$ , optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted

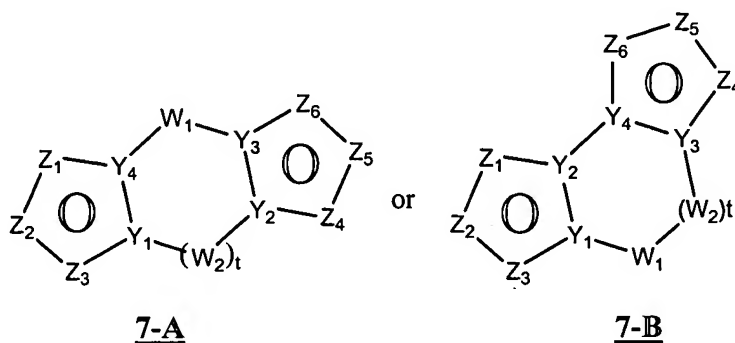
aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

$R_4$  is H, optionally substituted C1-C6 alkyl, OH (provided both  $R_4$  are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl,  $\text{COOR}_6$ ,  $-\text{NR}_6\text{R}_7$ ,  $-\text{CONR}_6\text{R}_7$ ; or  $R_4\text{R}_4$  may together be =O or  $R_4\text{R}_4$  together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, S(O) $_n$  (where  $n=0$  to 2), N- $R_1$ ;

$R_6$  and  $R_7$  are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or  $R_6$  and  $R_7$  ~~can be together to~~ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which  $R_6$  and  $R_7$  are attached optionally having one or two heteroatoms selected from N- $R_1$ , O, and S(O) $_n$   $n = 0-2$ ; and

$t = 1$  to 3.

22. (Currently amended) The process according to claim 12 wherein the tricyclic heteroaryl group is



wherein  $Z_1$ ,  $Z_2$ ,  $Z_3$ ,  $Z_4$ ,  $Z_5$  and  $Z_6$  are independently  $\text{CR}_2$ , N, O, S, and N- $R_1$ ; provided one of  $Z_1 - Z_6$  is a carbon atom to which the remainder of the molecule is attached;  $Y_1$ ,  $Y_2$ ,  $Y_3$  and  $Y_4$  are independently C or N; with the proviso that in formula 7-A at least one of  $Y_1$  and  $Y_4$  is C; and with the proviso that in formula 7-B at least one of  $Y_1$  and  $Y_2$  is C and at least one of  $Y_3$  and  $Y_4$  is C;



$W_1$  and  $W_2$  are independently  $CR_4R_4$ ,  $S(O)_r$  ( $r = 0-2$ ), O, N- $R_1$  with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring;  $R_1$  is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl,  $-S(O)_p$  optionally substituted alkyl or aryl where p is 0-2, optionally substituted  $-C=O$  heteroaryl, optionally substituted  $-C=O$  aryl, optionally substituted  $-C=O$  alkyl, optionally substituted  $-C=O$  cycloalkyl, optionally substituted  $-C=O$  mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $-CONR_6R_7$ ,  $-SO_2NR_6R_7$ , optionally substituted arylalkyloxyalkyl, optionally substituted  $-alkyl-O-alkyl-aryl$ , optionally substituted  $-alkyl-O-alkyl-$  heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6 alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

$R_2$  is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N- $R_6R_7$ , optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl,  $COOR_6$ , optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl,  $S(O)_q$ - optionally substituted C1-C6 alkyl,  $S(O)_q$ - optionally substituted aryl where q is 0, 1 or 2,  $CONR_6R_7$ , guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted

heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $\text{SO}_2\text{NR}_6\text{R}_7$ , optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

$\text{R}_4$  is H, optionally substituted C1-C6 alkyl, OH (provided both  $\text{R}_4$  are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl,  $\text{COOR}_6$ ,  $-\text{NR}_6\text{R}_7$ ,  $-\text{CONR}_6\text{R}_7$ ; or  $\text{R}_4\text{R}_4$  may together be  $=\text{O}$  or  $\text{R}_4\text{R}_4$  together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O,  $\text{S}(\text{O})_n$  (where  $n=0$  to 2), N- $\text{R}_1$ ;

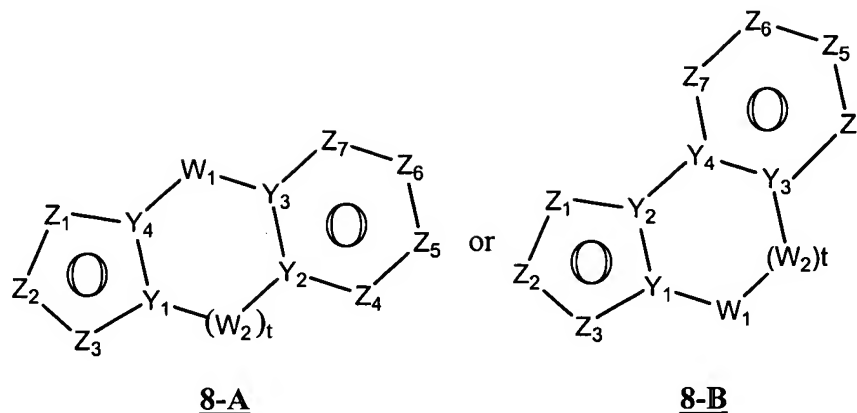
$\text{R}_6$  and  $\text{R}_7$  are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or

~~$\text{R}_6$  and  $\text{R}_7$  can be together to~~ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which  $\text{R}_6$  and  $\text{R}_7$  are attached optionally having one or two heteroatoms selected from N- $\text{R}_1$ , O, and  $\text{S}(\text{O})_n$   $n = 0-2$ ;

and

$t = 1$  to 3.

23. (Currently amended)      The process according to claim 12 wherein the tricyclic heteroaryl group is



wherein  $Z_1$ ,  $Z_2$ ,  $Z_3$ ,  $Z_4$ ,  $Z_5$ ,  $Z_6$  and  $Z_7$  are independently independently  $CR_2$ , N, O, S or  $N-R_1$ ;  $Z_4$ ,  $Z_5$ ,  $Z_6$  and  $Z_7$  are independently  $CR_2$  or N; provided one of the  $Z_1 - Z_7$  is a carbon atom to which the remainder of the molecule is attached;

$Y_1$ ,  $Y_2$ ,  $Y_3$  and  $Y_4$  are independently C or N;  $Y_2$  and  $Y_3$  are C; provided that in formula 8-A at least one of  $Y_1$  and  $Y_4$  is C; and provided that in formula 8-B  $Y_4$  is C;

$W_1$  and  $W_2$  are independently  $CR_4R_4$ ,  $S(O)_r$  ( $r = 0-2$ ), O, or  $N-R_1$  with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring;  $R_1$  is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl,  $-S(O)_p$  optionally substituted alkyl or aryl where p is 0-2, optionally substituted  $-C=O$  heteroaryl, optionally substituted  $-C=O$  aryl, optionally substituted  $-C=O$  alkyl, optionally substituted  $-C=O$  cycloalkyl, optionally substituted  $-C=O$  mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $-CONR_6R_7$ ,  $-SO_2NR_6R_7$ , optionally substituted arylalkyloxyalkyl, optionally substituted  $-alkyl-O-alkyl-aryl$ , optionally substituted  $-alkyl-O-alkyl-heteroaryl$ , optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally

substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

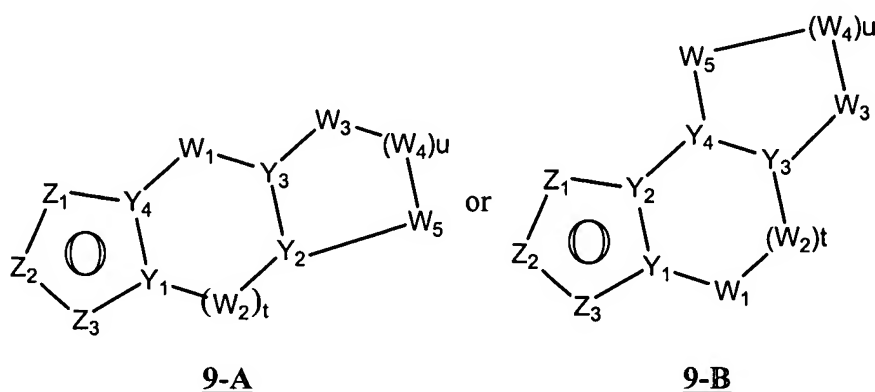
R<sub>2</sub> is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R<sub>6</sub>R<sub>7</sub>, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR<sub>6</sub>, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)<sub>q</sub>- optionally substituted C1-C6 alkyl, S(O)<sub>q</sub>- optionally substituted aryl where q is 0, 1 or 2, CONR<sub>6</sub>R<sub>7</sub>, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R<sub>4</sub> is H, optionally substituted C1-C6 alkyl, OH (provided both R<sub>4</sub> are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR<sub>6</sub>, -NR<sub>6</sub>R<sub>7</sub>, -CONR<sub>6</sub>R<sub>7</sub>; or R<sub>4</sub>R<sub>4</sub> may together be =O or R<sub>4</sub>R<sub>4</sub> together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, S(O)<sub>n</sub> (where n =0 to 2), N-R<sub>1</sub>;

R<sub>6</sub> and R<sub>7</sub> are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R<sub>6</sub> and R<sub>7</sub> ~~can be together to~~ together with the N to which they are attached, may form a 3-7

membered saturated ring system said ring system in addition to the N to which  $R_6$  and  $R_7$  are attached optionally having one or two heteroatoms selected from N- $R_1$ , O, and S(O)<sub>n</sub> n = 0-2; and  
 t = 0-3.

24. (Currently amended) The process according to claim 12 wherein the tricyclic heteroaryl group is



wherein  $Z_1$ ,  $Z_2$  and  $Z_3$  are independently  $CR_2$ , N, O, S or N- $R_1$  provided one of  $Z_1 - Z_3$  is a carbon atom to which the remainder of the molecule is attached;

$Y_1$  and  $Y_4$  are independently C or N;

$Y_2$  and  $Y_3$  are independently CH or N; with the proviso that in formula 9-A at least one of  $Y_1$  and  $Y_4$  is C; and with the proviso that in formula 9-B at least one of  $Y_1$  and  $Y_2$  is C;

$W_1$ ,  $W_2$ ,  $W_3$ ,  $W_4$  and  $W_5$  are independently  $CR_4R_4$ , S(O)<sub>r</sub> (r = 0-2), O, or N- $R_1$  with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring;  $R_1$  is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -S(O)<sub>p</sub> optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=O aryl, optionally substituted -C=O alkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally

substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $-\text{CONR}_6\text{R}_7$ ,  $-\text{SO}_2\text{NR}_6\text{R}_7$ , optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

$\text{R}_2$  is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano,  $\text{N-R}_6\text{R}_7$ , optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl,  $\text{COOR}_6$ , optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl,  $\text{S(O)}_q$ - optionally substituted C1-C6 alkyl,  $\text{S(O)}_q$ - optionally substituted aryl where q is 0, 1 or 2,  $\text{CONR}_6\text{R}_7$ , guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $\text{SO}_2\text{NR}_6\text{R}_7$ , optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

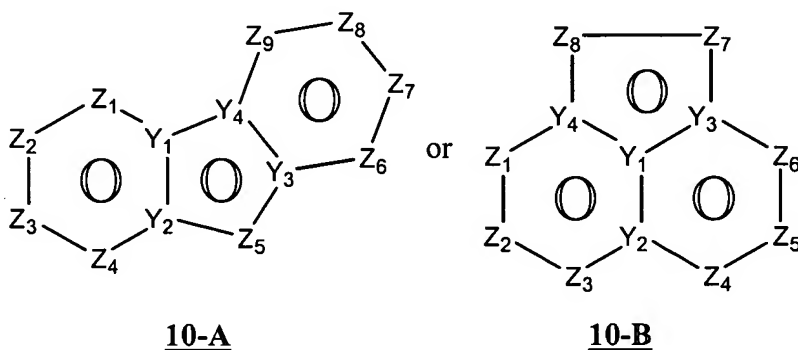
R<sub>4</sub> is H, optionally substituted C1-C6 alkyl, OH (provided both R<sub>4</sub> are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR<sub>6</sub>, -NR<sub>6</sub>R<sub>7</sub>, -CONR<sub>6</sub>R<sub>7</sub>; or R<sub>4</sub>R<sub>4</sub> may together be =O or R<sub>4</sub>R<sub>4</sub> together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, S(O)<sub>n</sub> (where n = 0 to 2), N-R<sub>1</sub>;

R<sub>6</sub> and R<sub>7</sub> are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R<sub>6</sub> and R<sub>7</sub> ~~can be together to~~ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R<sub>6</sub> and R<sub>7</sub> are attached optionally having one or two heteroatoms selected from N-R<sub>1</sub>, O, and S(O)<sub>n</sub> n = 0-2;

t = 0 to 2; and

u = 1 to 3.

25. (Currently amended) The process according to claim 12 wherein the tricyclic heteroaryl group is



wherein Z<sub>1</sub>, Z<sub>2</sub>, Z<sub>3</sub>, Z<sub>4</sub>, Z<sub>5</sub>, Z<sub>6</sub>, Z<sub>7</sub>, Z<sub>8</sub> and Z<sub>9</sub> are independently CR<sub>2</sub>, N, O, S or N-R<sub>1</sub> provided one of the Z<sub>1</sub> – Z<sub>9</sub> is a carbon atom to which the remainder of the molecule is attached; provided that Z<sub>1</sub>, Z<sub>2</sub>, Z<sub>3</sub>, Z<sub>4</sub>, Z<sub>6</sub>, Z<sub>7</sub>, Z<sub>8</sub> and Z<sub>9</sub> are not O, S or N-R<sub>1</sub> in formula 10-A and provided that Z<sub>7</sub> and Z<sub>8</sub> are not O, S or N-R<sub>1</sub> in formula 10-B;

R<sub>1</sub> is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally

substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl,  $-S(O)_p$  optionally substituted alkyl or aryl where p is 0-2, optionally substituted  $-C=O$  heteroaryl, optionally substituted  $-C=O$  aryl, optionally substituted  $-C=O$  alkyl, optionally substituted  $-C=O$  cycloalkyl, optionally substituted  $-C=O$  mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $-CONR_6R_7$ ,  $-SO_2NR_6R_7$ , optionally substituted arylalkyloxyalkyl, optionally substituted  $-alkyl-O-alkyl-aryl$ , optionally substituted  $-alkyl-O-alkyl-$  heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6 alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

$R_2$  is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano,  $N-R_6R_7$ , optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl,  $COOR_6$ , optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl,  $S(O)_q$ - optionally substituted C1-C6 alkyl,  $S(O)_q$ - optionally substituted aryl where q is 0, 1 or 2,  $CONR_6R_7$ , guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $SO_2NR_6R_7$ , optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted

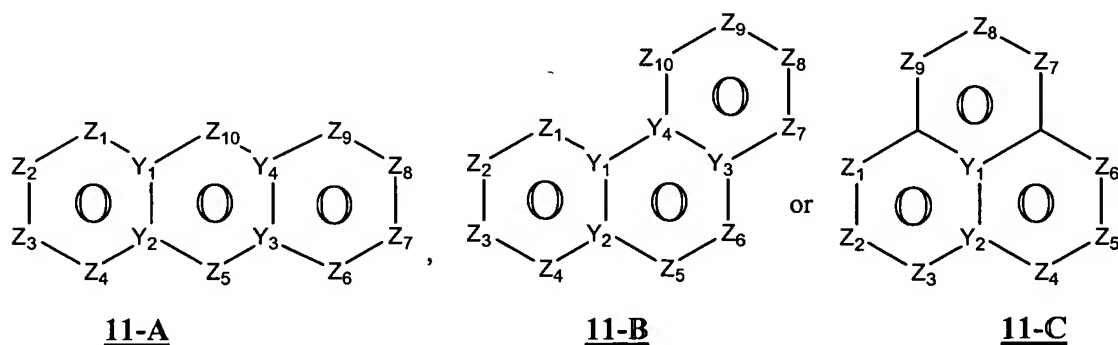


aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl  
 aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted  
 aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted  
 alkylaryloxyalkylamine;

R<sub>6</sub> and R<sub>7</sub> are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl,  
 optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally  
 substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6  
 alkyl heteroaryl, or R<sub>6</sub> and R<sub>7</sub> ~~can be together to~~ together with the N to which they are  
attached, may form a 3-7 membered saturated ring system said ring system in addition to  
the N to which R<sub>6</sub> and R<sub>7</sub> are attached optionally having one or two heteroatoms selected  
 from N-R<sub>1</sub>, O, and S(O)<sub>n</sub> n = 0-2; and

Y<sub>1</sub>, Y<sub>2</sub>, Y<sub>3</sub> and Y<sub>4</sub> are ~~independently C or N.~~

26. (Currently amended) The process according to claim 12 wherein the tricyclic heteroaryl  
 group is



wherein Z<sub>1</sub>, Z<sub>2</sub>, Z<sub>3</sub>, Z<sub>4</sub>, Z<sub>5</sub>, Z<sub>6</sub>, Z<sub>7</sub>, Z<sub>8</sub>, Z<sub>9</sub> and Z<sub>10</sub> are independently CR<sub>2</sub>, ~~N, O, S or N-R<sub>1</sub> or N~~  
 provided one of Z<sub>1</sub> - Z<sub>10</sub> is a carbon atom to which the remainder of the molecule is attached;

R<sub>1</sub> is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl  
 or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally  
 substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double  
 bond nor the triple bond should be present at the carbon atom which is directly linked to  
 N; optionally substituted perfluoroalkyl, -S(O)<sub>p</sub> optionally substituted alkyl or aryl where  
 p is 0-2, optionally substituted -C=Oheteroaryl, optionally substituted -C=Oaryl,

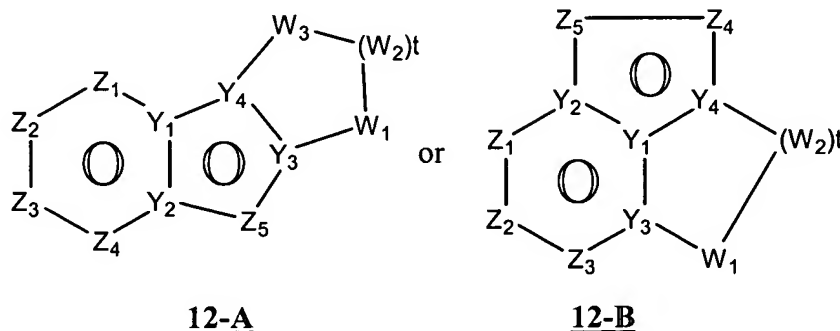
optionally substituted -C=Oalkyl, optionally substituted -C=Ocycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR<sub>6</sub>R<sub>7</sub>, -SO<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

R<sub>2</sub> is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R<sub>6</sub>R<sub>7</sub>, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR<sub>6</sub>, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)<sub>q</sub>- optionally substituted C1-C6 alkyl, S(O)<sub>q</sub>- optionally substituted aryl where q is 0, 1 or 2, CONR<sub>6</sub>R<sub>7</sub>, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R<sub>6</sub> and R<sub>7</sub> are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R<sub>6</sub> and R<sub>7</sub> ~~can be together to~~ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R<sub>6</sub> and R<sub>7</sub> are attached optionally having one or two heteroatoms selected from N-R<sub>1</sub>, O, and S(O)<sub>n</sub> n = 0-2; and

Y<sub>1</sub>, Y<sub>2</sub>, Y<sub>3</sub> and Y<sub>4</sub> are ~~independently C or N~~.

27. (Currently amended) The process according to claim 12 wherein the tricyclic heteroaryl group is



wherein Z<sub>1</sub>, Z<sub>2</sub>, and Z<sub>3</sub>, are independently CR<sub>2</sub> or N; Z<sub>4</sub> and Z<sub>5</sub> are independently CR<sub>2</sub>, N, O, S or N-R<sub>1</sub> provided that one of Z<sub>1</sub> - Z<sub>5</sub> is a carbon atom to which the remainder of the molecule is attached; provided that in formula 12-A, Z<sub>4</sub> is not O, S or N-R<sub>1</sub>;

Y<sub>1</sub>, and Y<sub>2</sub> are C; Y<sub>3</sub> and Y<sub>4</sub> are independently C or N; provided that in formula 12-B Y<sub>3</sub> is C;

W<sub>1</sub>, W<sub>2</sub>, W<sub>3</sub> are independently CR<sub>4</sub>R<sub>4</sub> O, N-R<sub>1</sub>, or S=(O)<sub>r</sub> (r = 0-2) with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R<sub>1</sub> is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -S(O)<sub>p</sub> optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=O aryl,

optionally substituted -C=Oalkyl, optionally substituted -C=Ocycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR<sub>6</sub>R<sub>7</sub>, -SO<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

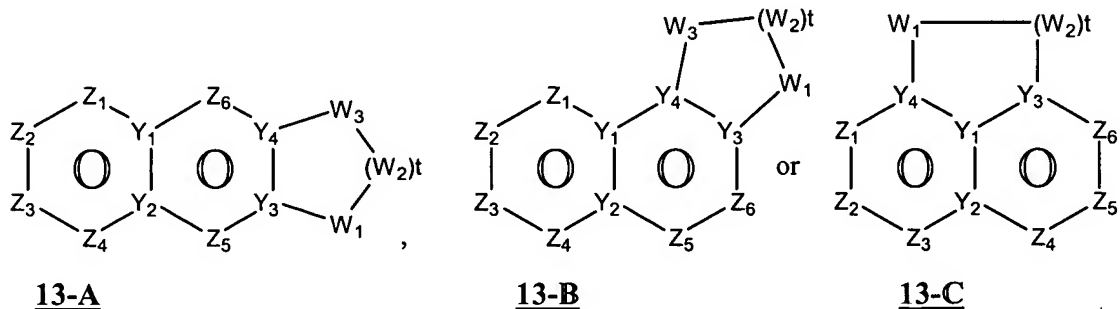
R<sub>2</sub> is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R<sub>6</sub>R<sub>7</sub>, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR<sub>6</sub>, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)<sub>q</sub>- optionally substituted C1-C6 alkyl, S(O)<sub>q</sub>- optionally substituted aryl where q is 0, 1 or 2, CONR<sub>6</sub>R<sub>7</sub>, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

$R_4$  is H, optionally substituted C1-C6 alkyl, OH (provided both  $R_4$  are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl,  $\text{COOR}_6$ ,  $\text{-NR}_6\text{R}_7$ ,  $\text{-CONR}_6\text{R}_7$ ; or  $R_4\text{R}_4$  may together be  $=\text{O}$  or  $R_4\text{R}_4$  together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, S(O) $_n$  (where  $n=0$  to 2), N- $R_1$ ;

$R_6$  and  $R_7$  are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or  $R_6$  and  $R_7$  ~~can be together to~~ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which  $R_6$  and  $R_7$  are attached optionally having one or two heteroatoms selected from N- $R_1$ , O, and S(O) $_n$   $n=0-2$ ; and

$t=1-4$ .

28. (Currently amended) The process according to claim 12 wherein the tricyclic heteroaryl group is



wherein  $Z_1$ ,  $Z_2$ ,  $Z_3$ ,  $Z_4$ ,  $Z_5$  and  $Z_6$  are independently  $\text{CR}_2$ , ~~N, O, S or N- $R_1$~~  or N provided one of  $Z_1 - Z_6$  is a carbon atom to which the remainder of the molecule is attached;

$Y_1$ ,  $Y_2$ ,  $Y_3$  and  $Y_4$  are ~~independently C or N~~;

$W_1$ ,  $W_2$  and  $W_3$  are independently  $\text{CR}_4\text{R}_4$ , S(O) $_r$  ( $r=0-2$ ), O, or N- $R_1$  with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring;  $R_1$  is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor

the triple bond should be present at the carbon atom which is directly linked to N;  
optionally substituted perfluoroalkyl,  $-S(O)_p$  optionally substituted alkyl or aryl where p is 0-2, optionally substituted  $-C=O$ heteroaryl, optionally substituted  $-C=O$ aryl, optionally substituted  $-C=O$ alkyl, optionally substituted  $-C=O$ cycloalkyl, optionally substituted  $-C=O$  mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $-CONR_6R_7$ ,  $-SO_2NR_6R_7$ , optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;  
 $R_2$  is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano,  $N-R_6R_7$ , optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl,  $COOR_6$ , optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl,  $S(O)_q-$  optionally substituted C1-C6 alkyl,  $S(O)_q-$  optionally substituted aryl where q is 0, 1 or 2,  $CONR_6R_7$ , guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $SO_2NR_6R_7$ , optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl

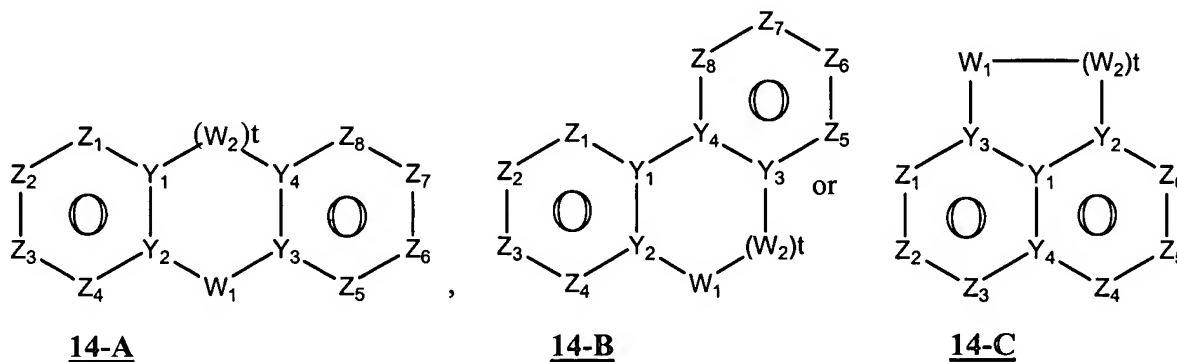
aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R<sub>4</sub> is H, optionally substituted C1-C6 alkyl, OH (provided both R<sub>4</sub> are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR<sub>6</sub>, -NR<sub>6</sub>R<sub>7</sub>, -CONR<sub>6</sub>R<sub>7</sub>; or R<sub>4</sub>R<sub>4</sub> may together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, S(O)<sub>n</sub> (where n = 0 to 2), N-R<sub>1</sub>;

R<sub>6</sub> and R<sub>7</sub> are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R<sub>6</sub> and R<sub>7</sub> ~~can be together to~~ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R<sub>6</sub> and R<sub>7</sub> are attached optionally having one or two heteroatoms selected from N-R<sub>1</sub>, O, and S(O)<sub>n</sub> n = 0-2; and

t = 1 to 3.

29. (Currently amended) The process according to claim 12 wherein the tricyclic heteroaryl group is



wherein Z<sub>1</sub>, Z<sub>2</sub>, Z<sub>3</sub>, Z<sub>4</sub>, Z<sub>5</sub>, Z<sub>6</sub>, Z<sub>7</sub> and Z<sub>8</sub> are independently CR<sub>2</sub>, ~~N, O, S or N-R<sub>1</sub>~~ or N provided one of Z<sub>1</sub> - Z<sub>8</sub> is a carbon atom to which the remainder of the molecule is attached;

Y<sub>1</sub>, Y<sub>2</sub>, Y<sub>3</sub> and Y<sub>4</sub> are ~~independently C or N~~;

$W_1$ , and  $W_2$  are independently  $CR_4R_4$ ,  $S(O)_r$  ( $r = 0-2$ ), O, or  $N-R_1$  with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring;  $R_1$  is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl,  $-S(O)_p$  optionally substituted alkyl or aryl where p is 0-2, optionally substituted  $-C=O$ heteroaryl, optionally substituted  $-C=O$ aryl, optionally substituted  $-C=O$ alkyl, optionally substituted  $-C=O$ cycloalkyl, optionally substituted  $-C=O$  mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $-CONR_6R_7$ ,  $-SO_2NR_6R_7$ , optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

$R_2$  is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano,  $N-R_6R_7$ , optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl,  $COOR_6$ , optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl,  $S(O)_q$ - optionally substituted C1-C6 alkyl,  $S(O)_q$ - optionally substituted aryl where q is 0, 1 or 2,  $CONR_6R_7$ , guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted



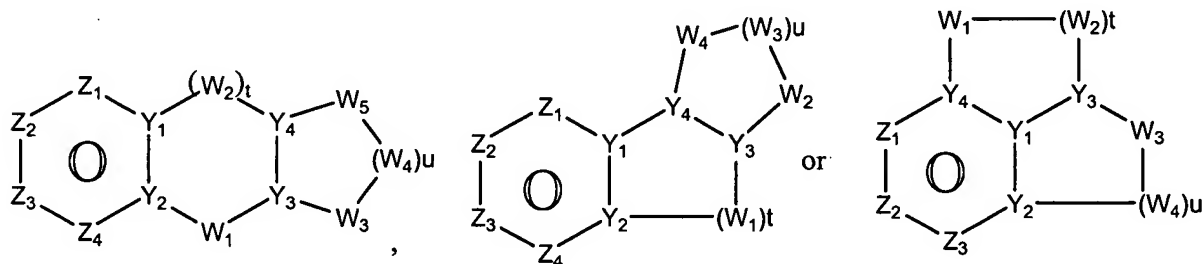
heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $\text{SO}_2\text{NR}_6\text{R}_7$ , optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

$\text{R}_4$  is H, optionally substituted C1-C6 alkyl, OH (provided both  $\text{R}_4$  are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl,  $\text{COOR}_6$ ,  $-\text{NR}_6\text{R}_7$ ,  $-\text{CONR}_6\text{R}_7$ ; or  $\text{R}_4\text{R}_4$  may together be  $=\text{O}$  or  $\text{R}_4\text{R}_4$  together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O,  $\text{S}(\text{O})_n$  (where  $n=0$  to 2), N- $\text{R}_1$ ;

$\text{R}_6$  and  $\text{R}_7$  are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or  $\text{R}_6$  and  $\text{R}_7$  ~~can be together to~~ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which  $\text{R}_6$  and  $\text{R}_7$  are attached optionally having one or two heteroatoms selected from N- $\text{R}_1$ , O, and  $\text{S}(\text{O})_n$   $n = 0-2$ ; and

$t = 1$  to 2.

30. (Currently amended) The process according to claim 12 wherein the tricyclic heteroaryl group is



**15-A**

**15-B**

**15-C**

wherein  $Z_1$ ,  $Z_2$ ,  $Z_3$  and  $Z_4$  are independently  $CR_2$ ,  $N$ ,  $O$ ,  ~~$S$  or  $N-R_1$~~  or  $N$  provided one of  $Z_1 - Z_4$  is a carbon atom to which the remainder of the molecule is attached;

$Y_1$ , and  $Y_2$  are  $C$ ;  $Y_3$  and  $Y_4$  are independently  $C$  or  $N$ ; provided that in formula 15-C  $Y_4$  is  $C$ ;

$W_1$ ,  $W_2$ ,  $W_3$ ,  $W_4$  and  $W_5$  are independently  $CR_4R_4$ ,  $S(O)_r$  ( $r = 0 - 2$ ),  $O$ , or  $N-R_1$  with the proviso that no  $S-S$ ,  $S-O$  or  $O-O$  bond formation can occur to form a saturated ring;

$R_1$  is  $H$ , optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to  $N$ ; optionally substituted perfluoroalkyl,  $-S(O)_p$  optionally substituted alkyl or aryl where  $p$  is 0-2, optionally substituted  $-C=O$  heteroaryl, optionally substituted  $-C=O$  aryl, optionally substituted  $-C=O$  alkyl, optionally substituted  $-C=O$  cycloalkyl, optionally substituted  $-C=O$  mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $-CONR_6R_7$ ,  $-SO_2NR_6R_7$ , optionally substituted arylalkyloxyalkyl, optionally substituted  $-alkyl-O-alkyl-aryl$ , optionally substituted  $-alkyl-O-alkyl-$  heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6 alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

$R_2$  is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano,  $N-R_6R_7$ , optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl,  $COOR_6$ , optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy,

optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)<sub>q</sub>- optionally substituted C1-C6 alkyl, S(O)<sub>q</sub>- optionally substituted aryl where q is 0, 1 or 2, CONR<sub>6</sub>R<sub>7</sub>, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

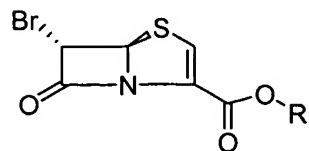
R<sub>4</sub> is H, optionally substituted C1-C6 alkyl, OH (provided both R<sub>4</sub> are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR<sub>6</sub>, -NR<sub>6</sub>R<sub>7</sub>, -CONR<sub>6</sub>R<sub>7</sub>; or R<sub>4</sub>R<sub>4</sub> may together be =O or R<sub>4</sub>R<sub>4</sub> together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, S(O)<sub>n</sub> (where n = 0 to 2), N-R<sub>1</sub>;

R<sub>6</sub> and R<sub>7</sub> are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R<sub>6</sub> and R<sub>7</sub> ~~can be together to~~ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R<sub>6</sub> and R<sub>7</sub> are attached optionally having one or two heteroatoms selected from N-R<sub>1</sub>, O, and S(O)<sub>n</sub> n = 0-2;

t = 1 to 3; and

u = 1 to 3.

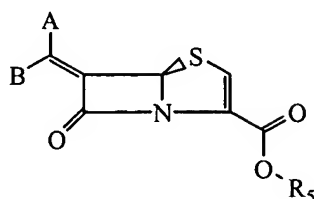
31. (Withdrawn) The 6-bromo-penem derivative of structure **16**



**16**

wherein R is p-nitrobenzyl.

32. (Currently amended) A process for the preparation of compound of formula **I**



**I**

wherein

one of A and B denotes hydrogen and the other is aryl optionally substituted with one or two R<sub>2</sub>, heteroaryl optionally substituted with one or two R<sub>2</sub>, a fused bicyclic heteroaryl optionally substituted with one or two R<sub>2</sub>, fused tricyclic heteroaryl optionally substituted with one or two R<sub>2</sub>, cycloalkyl optionally substituted with one or two R<sub>2</sub>, alkyl optionally substituted with one or two R<sub>2</sub>, alkenyl optionally substituted with one or two R<sub>2</sub>, alkynyl optionally substituted with one or two R<sub>2</sub>, saturated or partially saturated heteroaryl optionally substituted with one or two R<sub>2</sub>;

R<sub>5</sub> is H, an in vivo hydrolyzable ester selected from the group C1 –C6 alkyl, C5 – C6 cycloalkyl, CHR<sub>3</sub>OCOC1-C6 or a salt selected from the group consisting of Na, K, and Ca;

R<sub>2</sub> is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl having 1 to 2 double bonds, optionally substituted C2-C6 alkynyl having 1 to 2 triple bonds, halogen, cyano, N-R<sub>6</sub>R<sub>7</sub>, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR<sub>6</sub>, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3 –C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy,

alkylene dioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl,  $S(O)_q$ - optionally substituted C1-C6 alkyl,  $S(O)_q$ - optionally substituted aryl where q is 0, 1 or 2,  $CONR_6R_7$ , guanidino or cyclic guanidino, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,  $SO_2NR_6R_7$ , optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

$R_3$  is hydrogen, C1-C6 alkyl, C3 – C6 cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl;

$R_6$  and  $R_7$  are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl,  $R_6$  and  $R_7$  ~~can be taken together to~~ together with the N to which they are attached, may form a 3-7 membered saturated ring system ~~said ring system in addition to the N to which  $R_6$  and  $R_7$  are attached~~ optionally having one or two heteroatoms ~~such as~~ selected from N- $R_1$ , O,  $S=(O)_n$  n = 0-2;

which process comprises the following steps:

- (a) dissolving 6-aminopenicillanic acid in an organic solvent and water in the presence of hydrobromic acid and sodium or potassium nitrite solution to form the 6-bromo derivative

and converting the 6-bromopenicillanic acid 21 derivative to the p-Nitrobenzyl 6-bromopenicillanate 22

using 4-nitrobenzylbromide in the presence of base in an organic solvent;

(b) oxidizing the 4-nitrobenzyl 6-bromopenicillanate 22 to form 4-nitrobenzyl 6-bromopenicillanate 1-oxide 23

(c) refluxing the 4-nitrobenzyl 6-bromopenicillanate 1-oxide 23 with 2-mercaptobenzothiazole in an aromatic solvent to form 4-nitrobenzyl(2R)-2-[(3S,4R)-4-(benzothiazol-2-ylidithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-3-enoate 24

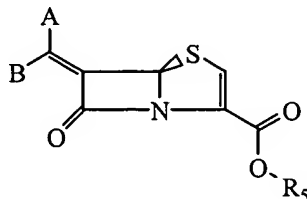
(d) dissolving the 4-nitrobenzyl(2R)-2-[(3S,4R)-4-(benzothiazol-2-ylidithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-3-enoate 24 in an organic solvent and reacting with an organic tertiary base to form 4-nitrobenzyl-2-[(3S,4R)-4-(benzothiazol-2-ylidithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-2-enoate 25

(e) converting the 4-nitrobenzyl-2-[(3S,4R)-4-(benzothiazol-2-ylidithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-2-enoate 25 to 4-nitrobenzyl 2-[(3S,4R)-3-bromo-4-formylthio-2-oxoazetidin-1-yl]-3-methylbut-2-enoate 26 by reacting in an aromatic organic solvent in the presence of an organic acid, acetic anhydride/ organic tertiary base and trialkyl or triaryl phosphine at about  $-10^{\circ}\text{C}$  to  $-30^{\circ}\text{C}$ ;

(f) said 4-nitrobenzyl 2-[(3S,4R)-3-bromo-4-formylthio-2-oxoazetidin-1-yl]-3-methylbut-2-enoate 26 being taken up in an organic solvent at  $-70^{\circ}\text{C}$  to  $-90^{\circ}\text{C}$  and ozonized oxygen being passed through it for at least 3 hours followed by intramolecular cyclization using a phosphite reagent to form 4-nitrobenzyl (5R,6S)-6-bromopenem-3-carboxylate 16;

(g) converting said 4-nitrobenzyl (5R,6S)-6-bromopenem-3-carboxylate 16 to the desired formula I product as described in claim 1.

33. (Original) The process according to claim 32 wherein the 6-aminopenicillanic acid is dissolved in methanol or THF.
34. (Currently amended) The process according to claim 32 wherein step (a) is performed in the presence of 48% w/w hydrobromic acid ~~and sodium or potassium nitrite solution~~.
35. (Original) The process according to claim 34 wherein step (a) is performed at  $-10^{\circ}\text{C}$  to  $-30^{\circ}\text{C}$ .
36. (Original) The process according to claim 32 wherein the base in step (a) is sodium or potassium carbonate and the organic solvent is THF or DMF.
37. (Original) The process according to claim 32 wherein the aromatic solvent in step (c) is toluene or xylene.
38. (Original) The process according to claim 32 comprising the sequential conversion of compound 23 to 26 wherein there is no isolation of the intermediates.
39. (Original) The process according to claim 38 wherein the 4-nitrobenzyl 6-bromopenicillanate 1-oxide 23 is reacted with mercaptobenzothiazole in refluxing aromatic organic solvent and is treated with triethylamine at about  $0$  to  $-20^{\circ}\text{C}$  to form a reaction mixture; said reaction mixture is charged with an organic acid and an anhydride, an organic tertiary base and a trialkyl or triaryl phosphate sequentially at about  $-10^{\circ}\text{C}$  to  $-40^{\circ}\text{C}$ .
40. (Original) The process according to claim 32 wherein step (g) is carried out without isolating the aldol intermediate.
41. (Currently amended) A process for the preparation of compounds of the formula **I**



**I**

wherein:

one of A and B denotes hydrogen and the other is an aryl optionally substituted with one or two  $\text{R}_2$ , heteroaryl optionally substituted with one or two  $\text{R}_2$ , fused bicyclic heteroaryl optionally

substituted with one or two R<sub>2</sub>, fused tricyclic heteroaryl optionally substituted with one or two R<sub>2</sub>, cycloalkyl optionally substituted with one or two R<sub>2</sub>, alkyl optionally substituted with one or two R<sub>2</sub>, alkenyl optionally substituted with one or two R<sub>2</sub>, alkynyl optionally substituted with one or two R<sub>2</sub>, saturated or partially saturated heteroaryl optionally substituted with one or two R<sub>2</sub>;

R<sub>5</sub> is H, C1 –C6 alkyl, C5 – C6 cycloalkyl, or CHR<sub>3</sub>OCOC1-C6alkyl;

R<sub>1</sub> is H, optionally substituted -C1-C6 alkyl, optionally substituted -aryl, optionally substituted -heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted -C3-C7 cycloalkyl, optionally substituted -C3-C6 alkenyl, optionally substituted -C3-C6 alkynyl with the proviso that both the double bond and the triple bond should not be present at the carbon atom which is directly linked to N; optionally substituted -C1-C6 per fluoro alkyl, -S(O)<sub>p</sub> optionally substituted alkyl or aryl where p is 2, optionally substituted -C=O heteroaryl, optionally substituted -C=O aryl, optionally substituted -C=O (C1-C6) alkyl, optionally substituted -C=O (C3-C6) cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkyl aryl, optionally substituted C1-C6 alkyl heteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR<sub>6</sub>R<sub>7</sub>, -SO<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, optionally substituted arylalkoxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkyl aryloxyheteroaryl, optionally substituted alkyl aryloxy alkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, optionally substituted heteroaryloxy carbonyl.

R<sub>2</sub> is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl having 1 to 2 double bonds, optionally substituted C2-C6 alkynyl having 1 to 2 triple bonds, halogen, cyano, N-R<sub>6</sub>R<sub>7</sub>, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR<sub>6</sub>, optionally substituted alkyl aryloxy alkylamines,



optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylene dioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)<sub>q</sub>- optionally substituted C1-C6 alkyl, S(O)<sub>q</sub>- optionally substituted aryl where q is 0, 1 or 2, CONR<sub>6</sub>R<sub>7</sub>, guanidino or cyclic guanidino, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

R<sub>3</sub> is hydrogen, C1-C6 alkyl, C5-C6 cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl;

R<sub>6</sub> and R<sub>7</sub> are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, R<sub>6</sub> and R<sub>7</sub> ~~can be together to~~ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R<sub>6</sub> and R<sub>7</sub> are attached optionally having one or two heteroatoms ~~such as~~ selected from N-R<sub>1</sub>, O, S=(O)<sub>n</sub> n = 0-2;

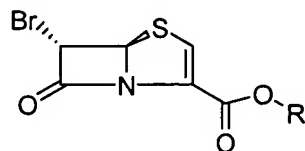
said process comprising

(b) condensing an ~~appropriately substituted~~ aldehyde 17

**A'-CHO**

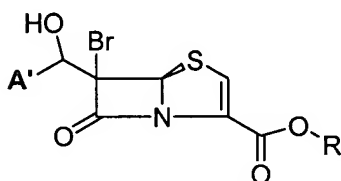
**17**

wherein A' is defined as A or B whichever one of A or B is not hydrogen,  
with 6-bromo-penem derivative of structure 16



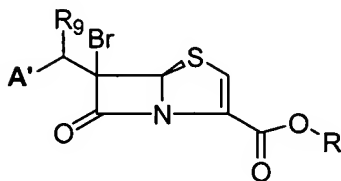
16

wherein R is a protecting group;  
in the presence of a Lewis acid and ~~a mild base~~ an organic tertiary base, at ~~low temperature~~ a temperature of -10°C to -40°C to form an intermediate aldol product 18



18

wherein A' and R are as defined above;  
(b) reacting intermediate 18 with an acid chloride or anhydride, (R<sub>8</sub>)Cl or (R<sub>8</sub>)<sub>2</sub>O, or with tetrahalomethane, C(X<sub>1</sub>)<sub>4</sub>, and triphenyl phosphine, to form intermediate compound 19



19

wherein R<sub>8</sub> is alkylSO<sub>2</sub>, arylSO<sub>2</sub>, alkylCO, or arylCO; X<sub>1</sub> is Br, I, or Cl; A' and R are as defined above; and R<sub>9</sub> is X<sub>1</sub> or OR<sub>8</sub>; and

(c) converting the intermediate compound 19 by a reductive elimination process; and if R is other than p-nitrobenzyl, deprotecting the R group; to form the desired formula I compound.

42. (Original) The process according to claim 41 wherein R is p-nitrobenzyl, benzyl, para-methoxy benzyl, benzhydrol, or trityl.